

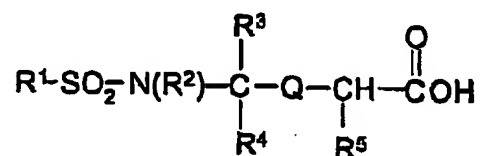
Amendments to the Claims:

Please amend claims 1, 2, and 12 as indicated, below.

The following listing of claims will replace all prior versions and listings of claims in the application.

Listing of Claims:

1. (Currently amended): A compound of formula I:



where

R¹ is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

R² and R³ together with the nitrogen atom bound to R² and the carbon atom bound to R³ from a heterocyclic or a substituted heterocyclic group selected from the group consisting of thiazolidinyl, piperidinyl and pyrrolidinyl wherein said substituted heterocyclic group consists of from 1 to 2 substituents selected from the group consisting of fluoro, methyl, hydroxyl, amino, phenyl, thiophenyl and thiobenzyl;

R⁴ is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

R⁵ is selected from the group consisting of isopropyl, -CH₂X and =CH-X where X is selected from the group consisting of:

hydrogen,

hydroxyl,

acylamino,
alkyl,
alkoxy,
aryloxy,
aryl,
aryloxyaryl,
carboxyl,
carboxylalkyl,
carboxyl-substituted alkyl,
carboxyl-cycloalkyl,
carboxyl-substituted cycloalkyl,
carboxylaryl,
carboxyl-substituted aryl,
carboxylheteroaryl,
carboxyl-substituted heteroaryl,
carboxylheterocyclic,
carboxyl-substituted heterocyclic,
cycloalkyl,
substituted alkyl,
substituted alkoxy,
substituted aryl,
substituted aryloxy,
substituted aryloxyaryl,
substituted cycloalkyl,
heteroaryl,
substituted heteroaryl,
heterocyclic,

and substituted heterocyclic;

wherein substituted aryl refers to aryl groups substituted with from 1 to 3 substituents selected from the group consisting of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, -S(O)₂-alkyl, -S(O)₂-substituted alkyl, -S(O)₂-cycloalkyl, -S(O)₂-substituted cycloalkyl, -S(O)₂-alkenyl, -S(O)₂-substituted alkenyl, -S(O)₂-aryl, -S(O)₂-substituted aryl, -S(O)₂-heteroaryl, -S(O)₂-substituted heteroaryl, -S(O)₂-heterocyclic, -S(O)₂-substituted heterocyclic, -OS(O)₂-alkyl, -OS(O)₂-substituted alkyl, -OS(O)₂-aryl, -OS(O)₂-substituted aryl, -OS(O)₂-heteroaryl, -OS(O)₂-substituted heteroaryl, -OS(O)₂-heterocyclic, -OS(O)₂-substituted heterocyclic, -OSO₂-NRR where R is hydrogen or alkyl, -NRS(O)₂-alkyl, -NRS(O)₂-substituted alkyl, -NRS(O)₂-aryl, -NRS(O)₂-substituted aryl, -NRS(O)₂-heteroaryl, -NRS(O)₂-substituted heteroaryl, -NRS(O)₂-heterocyclic, -NRS(O)₂-substituted heterocyclic, -NRS(O)₂-NR-alkyl, -NRS(O)₂-NR-substituted alkyl, -NRS(O)₂-NR-aryl, -NRS(O)₂-NR-substituted aryl, -NRS(O)₂-NR-heteroaryl, -NRS(O)₂-NR-substituted heteroaryl, -NRS(O)₂-NR-heterocyclic, -NRS(O)₂-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-

substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups selected from the group consisting of Boc, Cbz, and formyl or substituted with $-SO_2NRR$ where R is hydrogen or alkyl; and

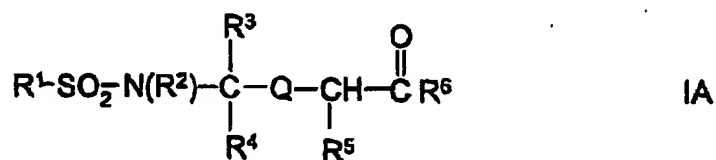
substituted heteroaryl refers to heteroaryl groups substituted with from 1 to 3 substituents selected of from hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, aryloxy, substituted aryloxy, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, $-S(O)_2$ -alkyl, $-S(O)_2$ -substituted alkyl, $-S(O)_2$ -cycloalkyl, $-S(O)_2$ -substituted cycloalkyl, $-S(O)_2$ -alkenyl, $-S(O)_2$ -substituted alkenyl, $-S(O)_2$ -aryl, $-S(O)_2$ -substituted aryl, $-S(O)_2$ -heteroaryl, $-S(O)_2$ -substituted heteroaryl, $-S(O)_2$ -heterocyclic, $-S(O)_2$ -substituted heterocyclic, $-OS(O)_2$ -alkyl, $-OS(O)_2$ -substituted alkyl, $-OS(O)_2$ -aryl, $-OS(O)_2$ -substituted aryl, $-OS(O)_2$ -heteroaryl, $-OS(O)_2$ -substituted heteroaryl, $-OS(O)_2$ -heterocyclic, $-OS(O)_2$ -substituted heterocyclic, $-OSO_2NRR$ where R is hydrogen or alkyl, $-NRS(O)_2$ -alkyl, $-NRS(O)_2$ -substituted alkyl, $-NRS(O)_2$ -aryl, $-NRS(O)_2$ -substituted aryl, $-NRS(O)_2$ -heteroaryl, $-NRS(O)_2$ -substituted heteroaryl, $-NRS(O)_2$ -heterocyclic, $-NRS(O)_2$ -substituted heterocyclic, $-NRS(O)_2$ -NR-alkyl, $-NRS(O)_2$ -NR-substituted alkyl, $-NRS(O)_2$ -NR-aryl, $-NRS(O)_2$ -NR-substituted aryl, $-NRS(O)_2$ -NR-heteroaryl, $-NRS(O)_2$ -NR-substituted heteroaryl, $-NRS(O)_2$ -NR-

heterocyclic, -NRS(O)₂-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups selected from the group consisting of Boc, Cbz, and formyl or substituted with -SO₂NRR where R is hydrogen or alkyl;

with the proviso that when R⁵ is =CH-X then (H) is removed from the formula and X is not hydroxyl;

Q is -C(X')NR⁷- wherein R⁷ is selected from the group consisting of hydrogen and alkyl; and X' is selected from the group consisting of oxygen and sulfur;
 or pharmaceutically acceptable salts thereof.

2. (Currently amended): A compound of formula IA below:



where

R¹ is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

R² and R³ together with the nitrogen atom bound to R² and the carbon atom bound to R³ form a heterocyclic or a substituted heterocyclic group selected from the group consisting of thiazolidinyl, piperidinyl and pyrrolidinyl wherein said substituted ~~heterocyclic~~ heterocyclic

group consists of from 1 to 2 substituents selected from the group consisting of fluoro, methyl, hydroxyl, amino, phenyl, thiophenyl and thiobenzyl;

R^4 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

R^5 is selected from the group consisting of isopropyl, $-CH_2X$ and $=CH-X$ where X is selected from the group consisting of

hydrogen,
hydroxyl,
acylamino,
alkyl,
alkoxy,
aryloxy,
aryl,
aryloxyaryl,
carboxyl,
carboxylalkyl,
carboxyl-substituted alkyl,
carboxyl-cycloalkyl,
carboxyl-substituted cycloalkyl,
carboxylaryl,
carboxyl-substituted aryl,
carboxylheteroaryl,
carboxyl-substituted heteroaryl,
carboxylheterocyclic,
carboxyl-substituted heterocyclic,
cycloalkyl,
substituted alkyl

substituted alkoxy,
substituted aryl,
substituted aryloxy,
substituted aryloxyaryl,
substituted cycloalkyl,
heteroaryl,
substituted heteroaryl,
heterocyclic,
and substituted heterocyclic;

wherein substituted aryl refers to aryl groups substituted with from 1 to 3 substituents selected from the group consisting of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, -S(O)₂-alkyl, -S(O)₂-substituted alkyl, -S(O)₂-cycloalkyl, -S(O)₂-substituted cycloalkyl, -S(O)₂-alkenyl, -S(O)₂-substituted alkenyl, -S(O)₂-aryl, -S(O)₂-substituted aryl, -S(O)₂-heteroaryl, -S(O)₂-substituted heteroaryl, -S(O)₂-heterocyclic, -S(O)₂-substituted heterocyclic, -OS(O)₂-alkyl, -OS(O)₂-substituted alkyl, -OS(O)₂-aryl, -OS(O)₂-substituted aryl, -OS(O)₂-heteroaryl, -OS(O)₂-substituted heteroaryl, -OS(O)₂-heterocyclic, -OS(O)₂-substituted heterocyclic, -OSO₂-NRR where R is hydrogen or alkyl, -NRS(O)₂-alkyl, -

NRS(O)₂-substituted alkyl, -NRS(O)₂-aryl, -NRS(O)₂-substituted aryl, -NRS(O)₂-heteroaryl, -NRS(O)₂-substituted heteroaryl, -NRS(O)₂-heterocyclic, -NRS(O)₂-substituted heterocyclic, -NRS(O)₂-NR-alkyl, -NRS(O)₂-NR-substituted alkyl, -NRS(O)₂-NR-aryl, -NRS(O)₂-NR-substituted aryl, -NRS(O)₂-NR-heteroaryl, -NRS(O)₂-NR-substituted heteroaryl, -NRS(O)₂-NR-heterocyclic, -NRS(O)₂-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and disubstituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups selected from the group consisting of Boc, Cbz, and formyl or substituted with -SO₂NRR where R is hydrogen or alkyl; and

substituted heteroaryl refers to heteroaryl groups substituted with from 1 to 3 substituents selected from hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, aryloxy, substituted aryloxy, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocycloxy, substituted heterocycloxy, carboxyl, carboxylalkyl, carboxyl substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, -S(O)₂-alkyl, -S(O)₂-substituted alkyl, -S(O)₂-cycloalkyl, -S(O)₂-substituted cycloalkyl, -S(O)₂-alkenyl, -S(O)₂-substituted alkenyl, -S(O)₂-aryl,

-S(O)₂-substituted aryl, -S(O)₂-heteroaryl, -S(O)₂-substituted heteroaryl, -S(O)₂-heterocyclic, -S(O)₂-substituted heterocyclic, -OS(O)₂-alkyl, -OS(O)₂-substituted alkyl, -OS(O)₂-aryl, -OS(O)₂-substituted aryl, -OS(O)₂-heteroaryl, -OS(O)₂-substituted heteroaryl, -OS(O)₂-heterocyclic, -OS(O)₂-substituted heterocyclic, -OSO₂-NRR where R is hydrogen or alkyl, -NRS(O)₂-alkyl, -NRS(O)₂-substituted alkyl, -NRS(O)₂-aryl, -NRS(O)₂-substituted aryl, -NRS(O)₂-heteroaryl, -NRS(O)₂-substituted heteroaryl, -NRS(O)₂-heterocyclic, -NRS(O)₂-substituted heterocyclic, -NRS(O)₂-NR-alkyl, -NRS(O)₂-NR-substituted alkyl, NRS(O)₂-NR-aryl, NRS(O)₂-NR-substituted aryl, NRS(O)₂-NR-heteroaryl, NRS(O)₂-NR-substituted heteroaryl, -NRS(O)₂-NR-heterocyclic, -NRS(O)₂-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups selected from the group consisting of Boc, Cbz, and formyl or substituted with -SO₂NRR where R is hydrogen or alkyl;

with the proviso that when R⁵ is =CH-X then (H) is removed from the formula and X is not hydroxyl;

R⁶ is selected from the group consisting of amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, -O-(N-succinimidyl), -NH-adamantyl, -O-cholest-5-en-3- β -yl, -NHOY where Y is hydrogen, alkyl, substituted alkyl, aryl, or substituted aryl, -NH(CH₂)_pCOOY where p is an integer of from 1 to 8 and Y is as defined above, -OCH₂NR⁹R¹⁰ where R⁹ is selected from the group consisting of -C(O)-aryl and -C(O)-substituted aryl and R¹⁰ is selected from the group consisting of hydrogen and -CH₂COOR¹¹ where R¹¹ is alkyl, and -NHSO₂Z where Z is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic or substituted heterocyclic;

Q is $-C(X')NR^7$ - wherein R^7 is selected from the group consisting of hydrogen and alkyl;
and X' is selected from the group consisting of oxygen and sulfur;
or pharmaceutically acceptable salts thereof
with the proviso that
when R^1 is *p*-methylphenyl, R^2 and R^3 are joined together with the nitrogen atom pendent to R^2 and the carbon atom pendent to R^3 to form a pyrrolidinyl ring, R^4 is methyl, R^5 is *p*-hydroxybenzyl then R^6 is not *t*-butoxy.

3. (Original): The compound according to Claims 1 or 2 wherein R^1 is selected from the group consisting of aryl, substituted aryl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl.

4. (Original): The compound according to Claims 1 or 2 wherein R^1 is selected from the group consisting of 4-methylphenyl, methyl, benzyl, *n*-butyl, 4-chlorophenyl, 1-naphthyl, 2-naphthyl, 4-methoxyphenyl, phenyl, 2,4,6-trimethylphenyl, 2-(methoxycarbonyl)phenyl, 2-carboxyphenyl, 3,5-dichlorophenyl, 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 3,4-dimethoxyphenyl, 4-($CH_3C(O)NH$ -)phenyl, 4-trifluoromethoxyphenyl, 4-cyanophenyl, isopropyl, 3,5-di-(trifluoromethyl)phenyl, 4-*t*-butylphenyl, 4-*t*-butoxyphenyl, 4-nitrophenyl, 2-thienyl, 1-N-thienyl-3-methyl-5-chloropyrazol-4-yl, phenethyl, 1-N-methylimidazol-4-yl, 4-bromophenyl, 4-amidinophenyl, 4-methylamidinophenyl, 4-[$CH_3SC(=NH)$]phenyl, 5-chloro-2-thienyl, 2,5-dichloro-4-thienyl, 1-N-methyl-4-pyrazolyl, 2-thiazolyl, 5-methyl-1,3,4-thiadiazol-2-yl, 4-[$H_2NC(S)$]phenyl, 4-aminophenyl, 4-fluorophenyl, 2-fluorophenyl, 3-fluorophenyl, 3,5-difluorophenyl, pyridin-3-yl, pyrimidin-2-yl, and 4-(3'-dimethylamino-*n*-propoxy)-phenyl.

5-6. (Canceled)

7. (Previously presented): The compound according to Claims 1 or 2 wherein R^2 and R^3 together with the nitrogen atom bound to R^2 substituent and the carbon bound to the R^3 substituent from a substituted heterocyclic ring.
- 8-9. (Canceled)
10. (Original): The compound according to Claim 1 or 2 wherein R^4 is selected from the group consisting of methyl, ethyl and phenyl.
11. (Canceled)
12. (Currently amended): The compound according to Claims 1 or 2 wherein R^5 is selected from the group consisting of 4-methylbenzyl, 4-hydroxybenzyl, 4-methoxybenzyl, 4-*t*-butoxybenzyl, 4-benzyloxybenzyl, 4- $[\Phi\text{-CH}(\text{CH}_3)\text{O-}]$ -benzyl, 4- $[\Phi\text{-CH}(\text{COOH})\text{O-}]$ -benzyl, 4- $[\text{BocNHCH}_2\text{C}(\text{O})\text{NH-}]$ benzyl, 4-chlorobenzyl, 4- $[\text{NH}_2\text{CH}_2\text{C}(\text{O})\text{NH-}]$ benzyl, 4-carboxybenzyl, 4- $[\text{CbzNHCH}_2\text{CH}_2\text{NH-}]$ benzyl, 3-hydroxy-4- $(\Phi\text{-OC}(\text{O})\text{NH-})$ benzyl, 4- $[\text{HOOCCH}_2\text{CH}_2\text{C}(\text{O})\text{NH-}]$ benzyl, benzyl, 4- $[\Phi\text{-C}(\text{O})\text{NH-}]$ benzyl, 3-carboxybenzyl, 4-iodobenzyl, 4-hydroxy-3,5-diiodobenzyl, 4-hydroxy-3-iodobenzyl, $\Phi\text{-CH}_2\text{CH}_2\text{-}$, 4-nitrobenzyl, 2-carboxybenzyl, 4- $[\text{dibenzylamino-}]$ -benzyl, 4- $[(1'\text{-cyclopropylpiperidin-4'-yl})\text{-C}(\text{O})\text{NH-}]$ benzyl, 4- $[\text{-NHC}(\text{O})\text{CH}_2\text{NHBoc}]$ benzyl, 4-carboxybenzyl, 4-hydroxy-3-nitrobenzyl, 4- $[\text{-NHC}(\text{O})\text{CH}(\text{CH}_3)\text{NHBoc}]$ benzyl, 4- $[\text{-NHC}(\text{O})\text{CH}(\text{CH}_2\Phi)\text{NHBoc}]$ -benzyl, isobutyl, methyl, 4- $[\text{CH}_3\text{C}(\text{O})\text{NH-}]$ benzyl, $\text{-CH}_2\text{-(3-indolyl)}$, *n*-butyl, *t*-butyl- $\text{OC}(\text{O})\text{CH}_2\text{-}$, *t*-butyl- $\text{OC}(\text{O})\text{CH}_2\text{CH}_2\text{-}$, $\text{H}_2\text{NC}(\text{O})\text{CH}_2\text{-}$, $\text{H}_2\text{NC}(\text{O})\text{CH}_2\text{CH}_2\text{-}$, $\text{BocNH-}(\text{CH}_2)_4\text{-}$, *t*-butyl- $\text{OC}(\text{O})\text{-(CH}_2)_2\text{-}$, $\text{HOOCCH}_2\text{-}$, $\text{HOOC}(\text{CH}_2)_2\text{-}$, $\text{H}_2\text{N}(\text{CH}_2)_4\text{-}$, isopropyl, (1-naphthyl)- $\text{CH}_2\text{-}$, (2-naphthyl)- $\text{CH}_2\text{-}$, (2-thiophenyl)- $\text{CH}_2\text{-}$, $\Phi\text{-CH}_2\text{-OC}(\text{O})\text{NH-}(\text{CH}_2)_4\text{-}$, cyclohexyl- $\text{CH}_2\text{-}$, benzyloxy- $\text{CH}_2\text{-}$, $\text{HOCH}_2\text{-}$, 5-(3-N-benzyl)imidazolyl- $\text{CH}_2\text{-}$, 2-pyridyl- $\text{CH}_2\text{-}$, 3-pyridyl- $\text{CH}_2\text{-}$, 4-pyridyl- $\text{CH}_2\text{-}$, 5-(3-N-methyl)imidazolyl- $\text{CH}_2\text{-}$, N-benzylpiperid-4-yl- $\text{CH}_2\text{-}$, N-Boc-piperidin-4-yl- $\text{CH}_2\text{-}$, N-(phenyl-

carbonyl)piperidin-4-yl-CH₂-, H₃CSCH₂CH₂-, 1-N-benzylimidazol-4-yl-CH₂-, *iso*-propyl-C(O)NH-(CH₂)₄-, *iso*-butyl-C(O)NH-(CH₂)₄-, phenyl-C(O)NH-(CH₂)₄-, benzyl-C(O)NH-(CH₂)₄-, allyl alkyl-C(O)NH-(CH₂)₄-, 4-(3-N-methylimidazolyl)-CH₂-, 4-[(CH₃)₂NCH₂CH₂CH₂-O-]benzyl, 4-[(benzyl)₂N-]-benzyl, 4-aminobenzyl, allyloxy alkyloxy-C(O)NH(CH₂)₄-, allyloxy alkyloxy-C(O)NH(CH₂)₃-, allyloxy alkyloxy-C(O)NH(CH₂)₂-, NH₂C(O)CH₂-, Φ -CH=, 2-pyridyl-C(O)NH-(CH₂)₄-, 4-methylpyrid-3-yl-C(O)NH-(CH₂)₄-, 3-methylthien-2-yl-C(O)NH-(CH₂)₄-, 2-pyrrolyl-C(O)NH-(CH₂)₄-, 2-furanyl-C(O)NH-(CH₂)₄-, 4-methylphenyl-SO₂-N(CH₃)CH₂C(O)NH(CH₂)₄-, 4-[cyclopentylacetylenyl]-benzyl, 4-[-NHC(O)-(N-Boc)-pyrrolidin-2-yl]-benzyl-, 1-N-methylimidazol-4-yl-CH₂-, 1-N-methylimidazol-5-yl-CH₂-, imidazol-5-yl-CH₂-, 6-methylpyrid-3-yl-C(O)NH-(CH₂)₄-, 4-[-NHC(O)NHCH₂CH₂CH₂- Φ]-benzyl, 4-[-NHC(O)NHCH₂CH₂- Φ]-benzyl, -CH₂C(O)NH(CH₂)₄ Φ -, 4-[Φ (CH₂)₄O-]-benzyl, 4-[-C \equiv C- Φ -4' Φ]-benzyl, 4-[-C \equiv C-CH₂-O-S(O)₂-4'-CH₃- Φ]-benzyl, 4-[-C \equiv C-CH₂NHC(O)NH₂]-benzyl, 4-[-C \equiv C-CH₂-O-4'-COOCH₂CH₃- Φ]-benzyl, 4-[-C \equiv C-CH(NH₂)-cyclohexyl]-benzyl, -(CH₂)₄NHC(O)CH₂-3-indolyl, -(CH₂)₄NHC(O)CH₂CH₂-3-indolyl, -(CH₂)₄NHC(O)-3-(5-methoxyindolyl), -(CH₂)₄NHC(O)-3-(1-methylindolyl), -(CH₂)₄NHC(O)-4-(-SO₂ (CH₃)- Φ), -(CH₂)₄NHC(O)-4-(C(O)CH₃)-phenyl, -(CH₂)₄NHC(O)-4-fluorophenyl, -(CH₂)₄NHC(O)CH₂O-4-fluorophenyl, 4-[-C \equiv C-(2-pyridyl)]-benzyl, 4-[-C \equiv C-CH₂-O-phenyl]benzyl, 4-[-C \equiv C-CH₂OCH₃]-benzyl, 4-[-C \equiv C-(3-hydroxyphenyl)]-benzyl, 4-[-C \equiv C-CH₂-O-4'-(-C(O)OC₂H₅)phenyl]-benzyl, 4-[-C \equiv C-CH₂CH(C(O)OCH₃)₂]-benzyl, 4-[-C \equiv C-CH₂NH-(4,5-dihydro-4-oxo-5-phenyl-oxazol-2-yl)], 3-aminobenzyl, 4-[-C \equiv C-CH₂CH(NHC(O)CH₃)C(O)OH]-benzyl, -CH₂C(O)NHCH(CH₃) Φ -, -CH₂C(O)NHCH₂-(4-dimethylamino)- Φ -, -CH₂C(O)NHCH₂-4-nitrophenyl, -CH₂CH₂C(O)N(CH₃)CH₂- Φ -, -CH₂CH₂C(O)NHCH₂CH₂-(N-methyl)-2-pyrrolyl, -CH₂CH₂C(O)NHCH₂CH₂CH₂CH₃-, -CH₂CH₂C(O)NHCH₂CH₂-3-indolyl, -CH₂C(O)N(CH₃)CH₂phenyl, -CH₂C(O)NH(CH₂)₂(N-methyl)-2-pyrrolyl, -CH₂C(O)NHCH₂CH₂CH₂CH₃-, -CH₂C(O)NHCH₂CH₂-3-indolyl, -(CH₂)₂C(O)NHCH(CH₃) Φ -, -(CH₂)₂C(O)NHCH₂-4-dimethylaminophenyl, -(CH₂)₂C(O)NHCH₂-4-nitrophenyl, -CH₂C(O)NH-4-[-NHC(O)CH₃-phenyl], -CH₂C(O)NH-4-pyridyl, -CH₂C(O)NH-4-[dimethylaminophenyl], -

CH₂C(O)NH-3-methoxyphenyl, -CH₂CH₂C(O)NH-4-chlorophenyl, -CH₂CH₂C(O)NH-2-pyridyl, -CH₂CH₂C(O)NH-4-methoxyphenyl, -CH₂CH₂C(O)NH-3-pyridyl, 4-[(CH₃)₂NCH₂CH₂O-]-benzyl, -(CH₂)₃NHC(NH)NH-SO₂-4-methylphenyl, 4-[(CH₃)₂NCH₂CH₂O-]-benzyl, -(CH₂)₄NHC(O)NHCH₂CH₃, -(CH₂)₄NHC(O)NH-phenyl, -(CH₂)₄NHC(O)NH-4-methoxyphenyl, 4-[4'-pyridyl-C(O)NH-]-benzyl, 4-[3'-pyridyl-C(O)NH-]-benzyl, 4-[-NHC(O)NH-3'-methylphenyl]-benzyl, 4-[-NHC(O)CH₂NHC(O)NH-3'-methylphenyl]-benzyl, 4-[-NHC(O)-(2',3'-dihydroindol-2-yl)]-benzyl, 4-[-NHC(O)-(2',3'-dihydro-N-Boc-indol-2-yl)]-benzyl, p-[-OCH₂CH₂-1'-(4'-pyrimidinyl)-piperazinyl]-benzyl, 4-[-OCH₂CH₂-(1'-piperidinyl)]-benzyl, 4-[-OCH₂CH₂-(1'-pyrrolidinyl)]-benzyl, 4-[-OCH₂CH₂CH₂-(1'-piperidinyl)]-benzyl, -CH₂-3-(1,2,4-triazolyl), 4-[-OCH₂CH₂CH₂-4-(3'-chlorophenyl)-piperazin-1-yl]-benzyl, 4-[-OCH₂CH₂N(Φ)CH₂CH₃]-benzyl, 4-[-OCH₂-3'-(N-Boc)-piperidinyl]-benzyl, 4-[di-*n*-pentylamino]-benzyl, 4-[*n*-pentylamino]-benzyl, 4-[di-*iso*-propylamino-CH₂CH₂O-]-benzyl, 4-[-OCH₂CH₂-(N-morpholinyl)]-benzyl, 4-[-O-(3'-(N-Boc)-piperidinyl)]-benzyl, 4-[-OCH₂CH(NHBoc)CH₂cyclohexyl]-benzyl, *p*-[OCH₂CH₂-(N-piperidinyl)]-benzyl, 4-[-OCH₂CH₂CH₂-(4-*m*-chlorophenyl)-piperazin-1-yl]-benzyl, 4-[-OCH₂CH₂-(N-homopiperidinyl)]-benzyl, 4-[-NHC(O)-3'-(N-Boc)-piperidinyl]-benzyl, 4-[-OCH₂CH₂N(benzyl)₂]-benzyl, CH₂-2-thiazolyl, 3-hydroxybenzyl, 4-[-OCH₂CH₂CH₂N(CH₃)₂]-benzyl, 4-[-NHC(S)NHCH₂CH₂-(N-morpholino)]-benzyl, 4-[-OCH₂CH₂N(C₂H₅)₂]-benzyl, 4-[-OCH₂CH₂CH₂N(C₂H₅)₂]-benzyl, 4-[CH₃(CH₂)₄NH-]-benzyl, 4-[N-*n*-butyl,N-*n*-pentylamino]-benzyl, 4-[NHC(O)-4'-piperidinyl]benzyl, 4-[-NHC(O)CH(NHBoc)(CH₂)₄NHCbz]-benzyl, 4-[-NHC(O)-1',2',3',4'-tetrahydro-N-Boc-isoquinolin-1'-yl]-benzyl, *p*-[-OCH₂CH₂CH₂-1'-(4'-methyl)-piperazinyl]-benzyl, -(CH₂)₄NH-Boc, 3-[-OCH₂CH₂CH₂N(CH₃)₂]-benzyl, 4-[-OCH₂CH₂CH₂N(CH₃)₂]-benzyl, 3-[-OCH₂CH₂-(1'-pyrrolidinyl)]-benzyl, 4-[-OCH₂CH₂CH₂N(CH₃)benzyl]-benzyl, 4-[-NHC(S)NHCH₂CH₂CH₂-(N-morpholino)]-benzyl, 4-[-OCH₂CH₂-(N-morpholino)]-benzyl, 4-[NHCH₂-(4'-chlorophenyl)]-benzyl, 4-[NHC(O)NH-(4'-cyanophenyl)]-benzyl, 4-[-OCH₂COOH]-benzyl, 4-[-OCH₂COO-*t*-butyl]-benzyl, 4-[-NHC(O)NH-4'-fluoroindol-2-yl]-benzyl, 4-[-NHC(S)NH(CH₂)₂-1-piperidinyl]-benzyl, 4-[-

N(SO₂CH₃)(CH₂)₃-N(CH₃)₂]-benzyl, 4-[-NHC(O)CH₂CH(C(O)OCH₂Φ)-NHCbz]-benzyl, 4-[-NHS(O)₂-CF₃]-benzyl, 3-[-O-(N-methylpiperidin-4'-yl)]-benzyl, 4-[-C(=NH)NH₂]-benzyl, 4-[-NHSO₂-CH₂Cl]-benzyl, 4-[-NHC(O)-(1',2',3',4'-tetrahydroisoquinolin-2'-yl)]-benzyl, 4-[-NHC(S)NH(CH₂)₃-N-morpholino]-benzyl, 4-[-NHC(O)CH(CH₂CH₂CH₂CH₂NH₂)NHBoc]-benzyl, 4-[-C(O)NH₂]-benzyl, 4-[-NHC(O)NH-3'-methoxyphenyl]-benzyl, 4-[-OCH₂CH₂-indol-3'-yl]-benzyl, 4-[-OCH₂C(O)NH-benzyl]-benzyl, 4-[-OCH₂C(O)O-benzyl]-benzyl, 4-[-OCH₂C(O)OH]-benzyl, 4-[-OCH₂-2'-(4',5'-dihydro)imidazolyl]-benzyl, -CH₂C(O)NHCH₂-(4-dimethylamino)phenyl, -CH₂C(O)NHCH₂-(4-dimethylamino)phenyl, 4-[-NHC(O)-L-2'-pyrrolidinyl-N-SO₂-4'-methylphenyl]-benzyl, 4-[-NHC(O)NHCH₂CH₂CH₃]-benzyl, [4-aminobenzyl]-benzyl, 4-[-OCH₂CH₂-1-(4-hydroxy-4-(3-methoxypyrrol-2-yl)-piperazinyl)-benzyl, 4-[-O-(N-methylpiperidin-4'-yl)]-benzyl, 3-methoxybenzyl, 4-[-NHC(O)-piperidin-3'-yl]-benzyl, 4-[-NHC(O)-pyridin-2'-yl]-benzyl, 4-[-NHCH₂-(4'-chlorophenyl)]-benzyl, 4-[-NHC(O)-(N-(4'-CH₃-Φ-SO₂-4'-CH₃-Φ-SO₂)-L-pyrrolidin-2'-yl)]-benzyl, 4-[-NHC(O)NHCH₂CH₂-Φ]-benzyl, 4-[-OCH₂C(O)NH₂]-benzyl, 4-[-OCH₂C(O)NH-*t*-butyl]-benzyl, 4-[-OCH₂CH₂-1-(4-hydroxy-4-phenyl)-piperidinyl]-benzyl, 4-[-NHSO₂-CH=CH₂]-benzyl, 4-[-NHSO₂-CH₂CH₂Cl]-benzyl, -CH₂C(O)NHCH₂CH₂N(CH₃)₂, 4-[(1'-Cbz-piperidin-4'-yl)C(O)NH-]benzyl, 4-[(1'-Boc-piperidin-4'-yl)C(O)NH-]benzyl, 4-[(2'-bromophenyl)C(O)NH-]benzyl, 4-[-NHC(O)-pyridin-4'-yl]-benzyl, 4-[(4'-(CH₃)₂NC(O)O-)phenyl]-C(O)NH-]benzyl, 4-[-NHC(O)-1'-methylpiperidin-4'-yl]-benzyl, 4-(dimethylamino)benzyl, 4-[-NHC(O)-(1'-N-Boc)-piperidin-2'-yl]-benzyl, 3-[-NHC(O)-pyridin-4'-yl]-benzyl, 4-[(*tert*-butyl-O(O)CCH₂-O-benzyl)-NH-]benzyl, [BocNHCH₂C(O)NH-]butyl, 4-benzyl-benzyl, 2-hydroxyethyl, 4-[(Et)₂NCH₂CH₂CH₂NHC(S)NH-]benzyl, 4-[(1'-Boc-4'-hydroxypyrrolidin-2'-yl)C(O)NH-]benzyl, 4-[-ΦCH₂CH₂CH₂NHC(S)NH-]benzyl, 4-[(perhydroindolin-2'-yl)C(O)NH-]benzyl, 2-[4-hydroxy-4-(3-methoxythien-2-yl)piperidin-1-yl]ethyl, 4-[(1'-Boc-perhydroindolin-2'-yl)-C(O)NH-]benzyl, 4-[*N*-3-methylbutyl-*N*-trifluoromethanesulfonyl]amino]-benzyl, 4-[*N*-vinylsulfonyl]amino]benzyl, 4-[2-(2-azabicyclo[3.2.2]octan-2-yl)ethyl-O-]benzyl, 4-[4'-hydroxypyrrolidin-2'-yl)C(O)NH-]benzyl, 4-(ΦNHC(S)NH)benzyl, 4-(EtNHC(S)NH)benzyl, 4-

(Φ CH₂NHC(S)NH)benzyl 3-[(1'-Boc-piperidin-2'-yl)C(O)NH-]benzyl, 3-[piperidin-2'-yl-C(O)NH-]benzyl, 4-[(3'-Boc-thiazolidin-4'-yl)C(O)NH-]benzyl, 4-(pyridin-3'-yl-NHC(S)NH)benzyl, 4-(CH₃-NHC(S)NH)benzyl-, 4-(H₂NCH₂CH₂CH₂C(O)NH)benzyl, 4-(BocHNCH₂CH₂CH₂C(O)NH)benzyl, 4-(pyridin-4'-yl-CH₂NH)benzyl, 4-[(N,N-di(4-N,N-dimethylamino)benzyl)amino]benzyl, 4-[(1-Cbz-piperidin-4-yl)C(O)NH-]butyl, 4-[(Φ CH₂OCH₂(BocHN)CHC(O)NH]benzyl, 4-[(piperidin-4'-yl)C(O)NH-]benzyl, 4-[(pyrrolidin-2'-yl)C(O)NH-]benzyl, 4-(pyridin-3'-yl-C(O)NH)butyl, 4-(pyridin-4'-yl-C(O)NH)butyl, 4-(pyridin-3'-yl-C(O)NH)benzyl, 4-[CH₃NHCH₂CH₂CH₂C(O)NH-]benzyl, 4-[CH₃N(Boc)CH₂CH₂CH₂C(O)NH-]benzyl, 4-(aminomethyl)benzyl, 4-[(Φ CH₂OCH₂(H₂N)CHC(O)NH]benzyl, 4-[(1',4'-di(Boc)piperazin-2'-yl)-C(O)NH-]benzyl, 4-[(piperazin-2'-yl)-C(O)NH-]benzyl, 4-[(N-toluenesulfonylpyrrolidin-2'-yl)C(O)NH-butyl-]benzyl, 4-[-NHC(O)-4'-piperidinyll]butyl, 4-[-NHC(O)-1'-N-Boc-piperidin-2'-yl]-benzyl, 4-[-NHC(O)-piperidin-2'-yl]-benzyl, 4-[(1'-N-Boc-2',3'-dihydroindolin-2'-yl)-C(O)NH]-benzyl, 4-(pyridin-3'-yl-CH₂NH)benzyl, 4-[(1'-Cbz-piperidin-4'-yl)C(O)NH-]benzyl, 4-[(piperidin-1'-yl)C(O)CH₂-O-]benzyl, 4-[(CH₃CH₂)₂NC(O)CH₂-O-]benzyl, 4-[HO(O)C(Cbz-NH)CHCH₂CH₂-C(O)NH-]benzyl, 4-[(Φ CH₂O(O)C(Cbz-NH)CHCH₂CH₂-C(O)NH-]benzyl, 4-[-NHC(O)-2'-methoxyphenyl]-benzyl, 4-[(pyrazin-2'-yl)C(O)NH-]benzyl, 4-[HO(O)C(NH₂)CHCH₂CH₂-C(O)NH-]benzyl, 4-(2'-formyl-1',2',3',4'-tetrahydroisoquinolin-3'-yl-CH₂NH-)benzyl, N-Cbz-NHCH₂-, 4-[(4'-methylpiperazin-1'-yl)C(O)O-]benzyl, 4-[CH₃(N-Boc)NCH₂C(O)NH-]benzyl, 4-[-NHC(O)-(1',2',3',4'-tetrahydro-N-Boc-isoquinolin-3'-yl)-]benzyl, 4-[CH₃NHCH₂C(O)NH-]benzyl, (CH₃)₂NC(O)CH₂-, 4-(N-methylacetamido)benzyl, 4-(1',2',3',4'-tetrahydroisoquinolin-3'-yl-CH₂NH-)benzyl, 4-[(CH₃)₂NHCH₂C(O)NH-]benzyl, (1-toluenesulfonylimidazol-4-yl)methyl, 4-[(1'-Boc-piperidin-4'-yl)C(O)NH-]benzyl, 4-trifluoromethylbenzyl, 4-[(2'-bromophenyl)C(O)NH-]benzyl, 4-[(CH₃)₂NC(O)NH-]benzyl, 4-[CH₃OC(O)NH-]benzyl, 4-[(CH₃)₂NC(O)O-]benzyl, 4-[(CH₃)₂NC(O)N(CH₃)-]benzyl, 4-[CH₃OC(O)N(CH₃)-]benzyl, 4-(N-methyltrifluoroacetamido)benzyl, 4-[(1'-methoxycarbonylpiperidin-4'-yl)C(O)NH-]benzyl, 4-[(4'-phenylpiperidin-4'-yl)C(O)NH-]benzyl, 4-[(4'-phenyl-1'-Boc-piperidin-4'-yl)-C(O)NH-

]benzyl, 4-[(piperidin-4'-yl)C(O)O-]benzyl, 4-[(1'-methylpiperidin-4'-yl)-O-]benzyl, 4-[(1'-methylpiperidin-4'-yl)C(O)O-]benzyl, 4-[(4'-methylpiperazin-1'-yl)C(O)NH-]benzyl, 3-[(CH₃)₂NC(O)O-]benzyl, 4-[(4'-phenyl-1'-Boc-piperidin-4'-yl)-C(O)O-]benzyl, 4-(N-toluenesulfonylamino)benzyl, 4-[(CH₃CC(O)NH-]benzyl, 4-[(morpholin-4'-yl)C(O)NH-]benzyl, 4-[(CH₃CH₂)₂NC(O)NH]benzyl, 4-[-C(O)NH-(4'-piperidinyl)]benzyl, 4-[(2'-trifluoromethylphenyl)C(O)NH-]benzyl, 4-[(2'-methylphenyl)C(O)NH-]benzyl, 4-[(CH₃)₂NS(O)₂-O-]benzyl, 4-[(pyrrolidin-2'-yl)C(O)NH-]benzyl, 4-[-NHC(O)-piperidin-1'-yl]benzyl, 4-[(thiomorpholin-4'-yl)C(O)NH-]benzyl, 4-[(thiomorpholin-4'-yl sulfone)-C(O)NH-]benzyl, 4-[(morpholin-4'-yl)C(O)O-]benzyl, 3-nitro-4-(CH₃OC(O)CH₂O-)benzyl, (2-benzoxazolinon-6-yl)methyl-, (2*H*-1,4-benzoxazin-3(4*H*)-one-7-yl)methyl-, 4-[(CH₃)₂NS(O)₂NH-]benzyl, 4-[(CH₃)₂NS(O)₂N(CH₃)-]benzyl, 4-[(thiomorpholin-4'-yl)C(O)O]benzyl, 4-[(thiomorpholin-4'-yl sulfone)-C(O)O-]benzyl, 4-[(piperidin-1'-yl)C(O)O-]benzyl, 4-[(pyrrolidin-1'-yl)C(O)O-]benzyl, 4-[(4'-methylpiperazin-1'-yl)C(O)O-]benzyl, 4-[(2'-methylpyrrolidin-1'-yl)-, (pyridine-4-yl)methyl-, 4-[(piperazin-4'-yl)-C(O)O-]benzyl, 4-[(1'-Boc-piperazin-4'-yl)-C(O)O-]benzyl, 4-[(4'-acetyl piperazin-1'-yl)C(O)O-]benzyl, *p*-[(4'-methanesulfonylpiperazin-1'-yl)-benzyl, 3-nitro-4-[(morpholin-4'-yl)-C(O)O-]benzyl, 4-[[[(CH₃)₂NC(S)]₂N-]benzyl, *N*-Boc-2-aminoethyl-, 4-[(1,1-dioxothiomorpholin-4-yl)-C(O)O-]benzyl, 4-[(CH₃)₂NS(O)₂-]benzyl, 4-[(piperidin-1'-yl)C(O)O-]benzyl, 1-*N*-benzyl-imidazol-4-yl-CH₂-, 3,4-dioxyethylenebenzyl, 3,4-dioxymethylenebenzyl, 4-[-N(SO₂)(CH₃)CH₂CH₂CH₂N(CH₃)₂]benzyl, 4-[NHC(O)CH(CH₂CH₂CH₂CH₂NH₂)NHBoc]-benzyl, [2'-[4"-hydroxy-4"-[3"-methoxythien-2"-yl]piperidin-2"-yl]ethoxy]benzyl, and *p*-[(CH₃)₂NCH₂CH₂N(CH₃)C(O)O-]benzyl.

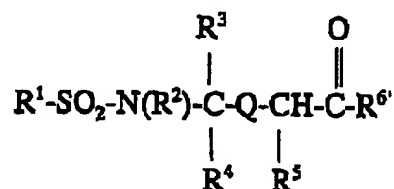
13. (Original): The compound according to Claim 2 wherein R⁶ is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl(3,4-enol), methoxy, ethoxy, isopropoxy, *n*-butoxy, *t*-butoxy, cyclopentoxo, neo-pentoxo, 2-*a*-iso-propyl-4-*P*-methylcyclohexoxy, 2-*β*-isopropyl-4-*β*-methylcyclohexoxy, -NH₂, benzyloxy, -NHCH₂COOH, -NHCH₂CH₂COOH, -NH-adamantyl, -

NHCH₂CH₂COOCH₂CH₃, -NHSO₂-*p*-CH₃Φ), -NHOR⁸ where R⁸ is hydrogen, methyl, isopropyl or benzyl, O-(N-succinimidyl), -O-cholest-5-en-3-β-yl, -OCH-OC(O)C(CH₃)₃, -O(CH₂)_zNHC(O)W where z is 1 or 2 and W is selected from the group consisting of pyrid-3-yl, N-methylpyridyl, and N-methyl-1,4-dihydro-pyrid-3-yl, -NR''C(O)-R' where R' is aryl, heteroaryl or heterocyclic and R'' is hydrogen or -CH₂C(O)OCH₂CH₃.

14. (Canceled)

15. (Original): A method for binding VLA-4 in a biological sample which method coinspises contacting the biological sample with a compound of Claims 1 or 2 under conditions wherein said compound binds to VLA-4.

16. (Previously presented): A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of the formula:



where

R¹ is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

R² and R³ together with the nitrogen atom bound to R² and the carbon atom bound to R³ form a heterocyclic or a substituted heterocyclic group selected from the group consisting of thiazolidinyl, piperidinyl and pyrrolidinyl wherein said substituted heterocyclic group consists of from 1 to 2 substituents selected from the group consisting of fluoro, methyl, hydroxyl, amino, phenyl, thiophenyl and thiobenzyl;

R⁴ is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

R⁵ is selected from the group consisting of isopropyl, -CH₂X and =CH-X where X is selected from the group consisting of:

hydrogen,
hydroxyl,
acylamino,
alkyl,
alkoxy,
aryloxy,
aryl,
aryloxyaryl,
carboxyl,
carboxylalkyl,
carboxyl-substituted alkyl,
carboxyl-cycloalkyl,
carboxyl-substituted cycloalkyl,
carboxylaryl,
carboxyl-substituted aryl,
carboxylheteroaryl,
carboxyl-substituted heteroaryl,
carboxylheterocyclic,
carboxyl-substituted heterocyclic,
cycloalkyl,
substituted alkyl
substituted alkoxy,
substituted aryl,

substituted aryloxy,
substituted aryloxyaryl,
substituted cycloalkyl,
heteroaryl,
substituted heteroaryl,
heterocyclic,
and substituted heterocyclic;

wherein substituted aryl refers to aryl groups substituted with from 1 to 3 substituents selected from the group consisting of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocabonylamino, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, -S(O)₂-alkyl, -S(O)₂-substituted alkyl, -S(O)₂-cycloalkyl, -S(O)₂-substituted cycloalkyl, -S(O)₂-alkenyl, -S(O)₂-substituted alkenyl, -S(O)₂-aryl, -S(O)₂-substituted aryl, -S(O)₂-heteroaryl, -S(O)₂-substituted heteroaryl, -S(O)₂-heterocyclic, -S(O)₂-substituted heterocyclic, -OS(O)₂-alkyl, -OS(O)₂-substituted alkyl, -OS(O)₂-aryl, -OS(O)₂-substituted aryl, -OS(O)₂-heteroaryl, -OS(O)₂-substituted heteroaryl, -OS(O)₂-heterocyclic, -OS(O)₂-substituted heterocyclic, -OSO₂-NRR where R is hydrogen or alkyl, -NRS(O)₂-alkyl, -NRS(O)₂-substituted alkyl, -NRS(O)₂-aryl, -NRS(O)₂-substituted aryl, -NRS(O)₂-heteroaryl, -NRS(O)₂-substituted heteroaryl, -NRS(O)₂-heterocyclic, -NRS(O)₂-substituted heterocyclic, -

NRS(O)₂-NR-alkyl, -NRS(O)₂-NR-substituted alkyl, -NRS(O)₂-NR-aryl, -NRS(O)₂-NR-substituted aryl, -NRS(O)₂-NR-heteroaryl, -NRS(O)₂-NR-substituted heteroaryl, -NRS(O)₂-NR-heterocyclic, -NRS(O)₂-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups selected from the group consisting of Boc, Cbz, and formyl or substituted with -SO₂NRR where R is hydrogen or alkyl; and

substituted heteroaryl refers to heteroaryl groups substituted with from 1 to 3 substituents selected of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocabonylamino, aryloxy, substituted aryloxy, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, -S(O)₂-alkyl, -S(O)₂-substituted alkyl, -S(O)₂-cycloalkyl, -S(O)₂-substituted cycloalkyl, -S(O)₂-alkenyl, -S(O)₂-substituted alkenyl, -S(O)₂-aryl, -S(O)₂-substituted aryl, -S(O)₂-heteroaryl, -S(O)₂-substituted heteroaryl, -S(O)₂-heterocyclic, -S(O)₂-substituted heterocyclic, -OS(O)₂-alkyl, -OS(O)₂-substituted alkyl, -OS(O)₂-aryl, -OS(O)₂-

substituted aryl, -OS(O)₂heteroaryl, -OS(O)₂-substituted heteroaryl, -OS(O)₂-heterocyclic, -OS(O)₂-substituted heterocyclic, -OSO₂NRR where R is hydrogen or alkyl, -NRS(O)₂-alkyl, -NRS(O)₂-substituted alkyl, -NRS(O)₂-aryl, -NRS(O)₂-substituted aryl, -NRS(O)₂-heteroaryl, -NRS(O)₂-substituted heteroaryl, -NRS(O)₂-heterocyclic, -NRS(O)₂-substituted heterocyclic, -NRS(O)₂-NR-alkyl, -NRS(O)₂-NR-substituted alkyl, -NRS(O)₂-NR-aryl, -NRS(O)₂-NR-substituted aryl, -NRS(O)₂-NR-heteroaryl, -NRS(O)₂-NR-substituted heteroaryl, -NRS(O)₂-NR-heterocyclic, -NRS(O)₂-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups selected from the group consisting of Boc, Cbz, and formyl or substituted with -SO₂NRR where R is hydrogen or alkyl;

with the proviso that when R⁵ is =CH-X then (H) is removed from the formula and X is not hydroxyl;

R⁶ is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl (3,4-enol), hydroxyl, amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, -O-(N-succinimidyl), -NH-adamantyl, -O-cholest-5-en-3-β-yl, -NHOY where Y is hydrogen, alkyl, substituted alkyl, aryl, or substituted aryl, -NH(CH₂)_pCOOY where p is an integer of from 1 to 8 and Y is as defined above, -OCH₂NR⁹R¹⁰ where R⁹ is selected from the group consisting of -C(O)-aryl and -C(O)-substituted aryl and R¹⁰ is selected from the group consisting of hydrogen and -CH₂COOR¹¹ where R¹¹ is alkyl, and -NHSO₂Z where Z is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic or substituted heterocyclic;

Q is $-C(X)NR^7$ - wherein R^7 is selected from the group consisting of hydrogen and alkyl;
and
X is selected from the group consisting of oxygen and sulfur;
or pharmaceutically acceptable salts thereof
with the proviso that
when R^1 is *p*-methylphenyl, R^2 and R^3 are joined together with the nitrogen atom pendent to R^2 and the carbon atom pendent to R^3 to form a pyrrolidiny ring, R^4 is methyl, R^5 is *p*-hydroxybenzyl then R^6 is not *t*-butoxy.

17. (Original): A method for the treatment of an inflammatory disease in a patient mediated by VLA-4 which methods comprise administering to the patient the pharmaceutical composition of Claim 16.

18. (Previously presented): The method according to Claim 17 wherein said inflammatory disease is selected from the group consisting of asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, multiple sclerosis, rheumatoid arthritis, tissue transplantation, tumor metastasis, meningitis, encephalitis, cerebral traumas, nephritis, retinitis, atopic dermatitis, psoriasis, myocardial ischemia and acute leukocyte-mediated lung injury which occurs in adult respiratory distress syndrome.

19. (Previously presented): The method according to Claim 18 wherein said diabetes is acute juvenile onset diabetes.

20. (Previously presented): The method according to Claim 18 wherein said inflammatory bowel disease is ulcerative colitis or Crohn's disease.

21. (Previously presented): The method according to Claim 18 wherein said cerebral trauma is stroke.
22. (Previously presented): A compound selected from the group consisting of:
- N*-(toluene-4-sulfonyl)-L- α -methylprolyl-L-phenylalanine;
 - N*-(toluene-4-sulfonyl)-L- α -methylprolyl-L-4-(isonicotinamido)phenylalanine methyl ester;
 - N*-(toluene-4-sulfonyl)-L- α -methylprolyl-L-4-(isonicotinamido)phenylalanine;
 - N*-(toluene-4-sulfonyl)- α -methylprolyl-L-4-(1-methylpiperidin-4-oxy)phenylalanine ethyl ester;
 - N*-(toluene-4-sulfonyl)- α -methylprolyl-L-4-(1-methylpiperidin-4-oxy)phenylalanine;
 - N*-(toluene-4-sulfonyl)- α -methylprolyl-L-4-(4-methylpiperazin-1-carbonyloxy)phenylalanine *tert*-butyl ester;
 - N*-(toluene-4-sulfonyl)- α -methylprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester;
 - N*-(toluene-4-sulfonyl)- α -methylprolyl-L-4-(4-methylpiperazin-1-carbonyloxy)phenylalanine;
 - N*-(toluene-4-sulfonyl)- α -methylprolyl-L-tyrosine *tert*-butyl ester;
 - N*-(toluene-4-sulfonyl)- α -methylprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine;
 - N*-(toluene-4-sulfonyl)- α -methylprolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester;
 - N*-(toluene-4-sulfonyl)- α -methylprolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine;

N-(toluene-4-sulfonyl)- α -methylprolyl-D-tyrosine *tert*-butyl ester;

N-(toluene-4-sulfonyl)- α -methylprolyl-L-4-(morpholin-4-ylcarbonyloxy)phenyl-alanine 1-(trimethyacetoxymethyl ester;

N-(toluene-4-sulfonyl)- α -methylprolyl-L-4-[N-(2-(N',N'-dimethylamino)ethyl)-N-methylcarbamyloxy]phenylalanine *tert*-butyl ester;

N-(toluene-4-sulfonyl)- α -methylprolyl-L-4-[N-(2-(N',N'-dimethylamino)ethyl)-N-methylcarbamyloxy]phenylalanine;

N-(4-fluorobenzenesulfonyl)- α -methylprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester;

N-(4-fluorobenzenesulfonyl)- α -methylprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

or pharmaceutically acceptable salts thereof or any of the ester compounds recited above wherein one ester group is replaced with another ester group selected from the group consisting of methyl ester, ethyl ester, *n*-propyl ester, isopropyl ester, *n*-butyl ester, isobutyl ester, *sec*-butyl ester and *tert*-butyl ester.